

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
29 September 2005 (29.09.2005)

PCT

(10) International Publication Number
WO 2005/090310 A3(51) International Patent Classification⁷: C07D 221/20,
C07C 231/14AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW.(21) International Application Number:
PCT/EP2005/051243

(22) International Filing Date: 17 March 2005 (17.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
MI2004A000501 17 March 2004 (17.03.2004) IT(71) Applicant (for all designated States except US): CAF-
FARO S.r.l. [IT/IT]; Via Borgonuovo 14, I-20121 Milano
(IT).(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (for US only): DANIELI, Bruno
[IT/IT]; Via S. Martino 11/C, I-20122 Milano (IT). DEL-
OGU, Pietro [IT/IT]; Via Roma 17, I-34132 Trieste (IT).
DE ROSA, Sabrina [IT/IT]; Via Mesol 18, I-33052 Cervi-
gnano del Friuli (IT). FUGAZZA, Lorenza [IT/IT]; Via
Rossini 2, I-26848 San Fiorano (IT).(74) Agents: GERLI, Paolo et al.; Notarbartolo & Gervasi
S.p.A., Corso di Porta Vittoria 9, I-20122 Milano (IT).(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,

Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

Published:

— with international search report

(88) Date of publication of the international search report:
16 March 2006For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

WO 2005/090310 A3

(54) Title: PROCESS FOR PREPARING CYCLOHEXANEDIACETIC ACID MONOAMIDE

(57) Abstract: A new process is described for synthesising cyclohexanediacetic acid monoamide, a key compound in the synthesis of gabapentin precursors. The process of the invention is characterised by reacting cyclohexanone with cyanoacetamide and immediately after, with a suitable malonic acid ester. A new intermediate (5-cyano-2,4-dioxo-3-azaspiro[5.5]undecane-1-carboxylic acid ester) is obtained which is convertible, under mild reaction conditions, into cyclohexanediacetic acid monoamide.